

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
2 June 2005 (02.06.2005)

PCT

(10) International Publication Number
WO 2005/048992 A1

- (51) International Patent Classification⁷: **A61K 9/20**, 31/44 (74) Agent: **GRUBB, Philip**; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).
- (21) International Application Number: PCT/EP2004/012437 (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (22) International Filing Date: 3 November 2004 (03.11.2004) (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 0325603.9 3 November 2003 (03.11.2003) GB
- (71) Applicant (for all designated States except US): **SANDOZ AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BHARATRAJAN, Ramaswami** [IN/IN]; 86-B/12 Vrindavan Society, Thane (West) 400 601 (IN). **KHARKAR, Pallavi** [IN/IN]; 8, Balkrishna Sadan, 19, Gokhale Road (North), Dadar (West) 400 028, Mumbai (IN). **ROY, Sunil** [IN/IN]; Flat No 203/204, Building No. 3C, Garden Enclave, Near Vasant Vihar, Plot No. 2, Thane (West) 400 601 (IN).
- Published:
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR PREPARING CLOPIDOGREL COMPOSITIONS

(57) Abstract: This invention provides a composition suitable for oral administration comprising clopidogrel in pharmaceutically acceptable salt form which composition comprises : a polymer coating selected from a polyvinyl acetate or a polyvinyl alcohol, and a hydrophobic component comprising a hydrogenated vegetable oil, wherein the salt is selected from mesylate, hydrobromide, hydroiodide and hydrochloride, and wherein the clopidogrel is in the form of coated particles, granules or agglomerates. In another aspect, the invention provides a process for preparing tablets comprising clopidogrel in pharmaceutically acceptable salt form which process comprises a) compacting the clopidogrel with anhydrous or substantially anhydrous components so as to form aggregates, b) breaking down the aggregates so as to form granules or particles, c) optionally mixing the granules or particles with at least one further component, and d) compacting or compressing the granules or particles thus formed into tablets, wherein the clopidogrel is used in mesylate, hydrobromide, hydroiodide, or hydrochloride form, and the process further comprises mixing a moisture scavenger with the aggregates, granules or particles. A granule- or particle-coating step may be included after step b) or step c) when present and before step d).

WO 2005/048992 A1